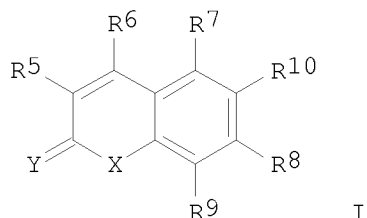


L7 ANSWER 5 OF 5 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 134:207727 CA <<LOGINID::20090722>>
 TITLE: Preparation of quinolinones and related bicyclic compounds as androgen and progesterone receptor modulators.
 INVENTOR(S): Zhi, Lin; Tegley, Christopher; Pio, Barbara; Arjan van Oeveren, Cornelis; Motamedi, Mehrnouch; Martinborough, Esther; West, Sarah; Higuchi, Robert; Hamann, Lawrence; Farmer, Luc
 PATENT ASSIGNEE(S): Ligand Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 356 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016108	A2	20010308	WO 2000-US23585	20000825
WO 2001016108	A3	20011220		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
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PRIORITY APPLN. INFO.:			US 1999-150987P	P 19990827
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OTHER SOURCE(S): MARPAT 134:207727
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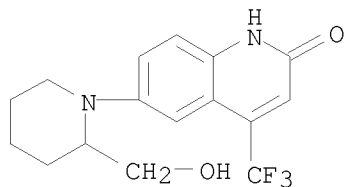


AB Title compds., e.g. [I; R1, R2 = COR3, CSR3, SO2R3, NO, NR3R4, alkyl, alkenyl, haloalkyl, haloalkenyl, haloalkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, etc.; R1R2 = atoms to form (substituted) heterocyclyl; R3, R4 = H, (substituted) alkyl, alkenyl, alkynyl, haloalkyl, heteroalkyl, heteroaryl, aryl; R5 = H, F, Cl, Br, iodo, OR3, SR3, NR3R4, alkyl, haloalkyl, heteroalkyl; R6 = F, Cl, Br, iodo, Me, CF3, CHF2, cyano, CF2Cl, CF2OR3, OR3, SOR3, CO2R3, NR3R4, (substituted) alkyl, alkenyl, alkynyl, haloalkyl, heteroalkyl, etc.; R7, R8 = H, F, Cl, Br, iodo, cyano, OR3, NR3R4, SR3, SOR3, NR3COR4, alkyl, haloalkyl, heteroalkyl, etc.; R9 = H, F, Cl, iodo, OR3, NR3R4, SR3, SOR3, SO2R3, alkyl, haloalkyl, heteroalkyl; R10 = NR1R2, (substituted) heterocyclyl; Y = O, S, NR3, NOR3, CR3R4], were prepared Thus, 6-amino-4-trifluoromethyl-2(1H)-quinolinone (preparation given) was stirred with propionaldehyde and NaBH3CN in MeOH to give 70-95% 6-propylamino-4-trifluoromethyl-2(1H)-quinolinone. The latter showed androgen receptor agonist activity with a potency of 27 nM. A drug composition is given. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 328949-98-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinones and related bicyclic compds. as androgen and progesterone receptor modulators)

RN 328949-98-0 CA

CN 2(1H)-Quinolinone, 6-[2-(hydroxymethyl)-1-piperidinyl]-4-(trifluoromethyl)-
(CA INDEX NAME)



10/566,569

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT